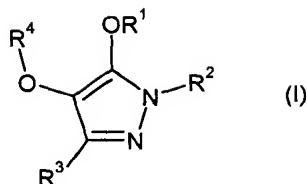


Claims

1. A compound of formula (I)



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or a pharmaceutically acceptable salt, solvate or derivative thereof, wherein:

- 10  $R^1$  is a five or six-membered, aromatic heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by halo, oxo, -CN, -COR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>5</sup>, -OR<sup>5</sup>, OR<sup>11</sup>, -NR<sup>5</sup>R<sup>5</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-NR<sup>5</sup>R<sup>5</sup>, R<sup>7</sup> or R<sup>11</sup>;
- 15  $R^2$  is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkenyl, phenyl, benzyl, R<sup>8</sup> or R<sup>9</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl and benzyl being optionally substituted by halo, -OR<sup>5</sup>, -OR<sup>10</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -C(=NR<sup>5</sup>)NR<sup>5</sup>OR<sup>5</sup>, -CONR<sup>5</sup>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>6</sup>R<sup>6</sup>, -NR<sup>5</sup>R<sup>10</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COR<sup>8</sup>, -NR<sup>5</sup>COR<sup>10</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, R<sup>8</sup> or R<sup>9</sup>;
- 20  $R^3$  is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl, halo, -CN, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, R<sup>8</sup> or R<sup>9</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>5</sup>, -NR<sup>6</sup>R<sup>6</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>5</sup>, R<sup>8</sup> or R<sup>9</sup>;
- 25  $R^4$  is phenyl, naphthyl or pyridyl, each being optionally substituted by R<sup>8</sup>, halo, -CN, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CONR<sup>5</sup>R<sup>5</sup>, OR<sup>11</sup>, So<sub>x</sub>R<sup>6</sup>, O-(C<sub>1</sub>-C<sub>6</sub> alkylene)-CONR<sup>5</sup>R<sup>5</sup>, O-(C<sub>1</sub>-C<sub>6</sub> alkylene)-NR<sup>6</sup>R<sup>5</sup>, or O-(C<sub>1</sub>-C<sub>6</sub> alkylene)-OR<sup>6</sup>;
- 30 each R<sup>5</sup> is independently either H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl or, when two R<sup>5</sup> groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidiny, pyrrolidinyl, piperidinyl, homopiperidinyl,

piperazinyl, homopiperazinyl or morpholinyl, said azetidiny, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl;

- 5 each R<sup>6</sup> is independently either H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl;

R<sup>7</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl;

- 10 R<sup>8</sup> is a five or six-membered, aromatic heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by halo, oxo, -CN, -COR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>5</sup>, -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-NR<sup>5</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro(C<sub>1</sub>-C<sub>6</sub>)alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl;

- 15 R<sup>9</sup> is a four to seven-membered, saturated or partially unsaturated heterocyclic group containing (i) 1 or 2 nitrogen heteroatom(s) or (ii) 1 nitrogen heteroatom and 1 oxygen or 1 sulphur heteroatom or (iii) 1 oxygen or sulphur heteroatom, said heterocyclic group being optionally substituted by oxo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, -SO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -COOR<sup>5</sup>, -CO-(C<sub>1</sub>-C<sub>6</sub> alkylene)-OR<sup>5</sup> or -COR<sup>5</sup> and optionally substituted on a carbon atom which is not  
20 adjacent to a heteroatom by halo, -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COOR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>5</sup> or -CN;

R<sup>10</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl substituted by R<sup>8</sup>, R<sup>9</sup>, -OR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup> or -NR<sup>5</sup>R<sup>5</sup>;

- 25 R<sup>11</sup> is phenyl optionally substituted by halo, -CN, -COR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>5</sup>, -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-NR<sup>5</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl; and

x is 0, 1 or 2.

- 30 2. A pharmaceutical composition comprising a compound according to claim 1 and one or more pharmaceutically acceptable excipients, diluents or carriers.

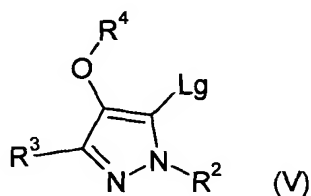
3. A pharmaceutical composition according to claim 2 comprising one or more additional therapeutic agents.

4. A compound according to claim 1 for use as a medicament.
5. A pharmaceutical composition according to claim 2 or 3 for use as a medicament.
- 5 6. A compound according to claim 1 for use as a reverse transcriptase inhibitor or modulator.
7. A pharmaceutical composition according to claim 2 or 3 for use as a reverse transcriptase inhibitor or modulator.
- 10 8. A compound according to claim 1 for use in the treatment of an HIV or genetically-related retroviral infection, or a resulting acquired immune deficiency syndrome (AIDS).
- 15 9. A pharmaceutical composition according to claim 2 or 3 for use in the treatment of an HIV or genetically-related retroviral infection, or a resulting acquired immune deficiency syndrome (AIDS).
- 20 10. A method for inhibiting or modulating HIV reverse transcriptase activity in a subject in need thereof comprising administering to said subject an effective amount of a compound according to claim 1.
- 25 11. A method for inhibiting or modulating HIV reverse transcriptase activity in a subject in need thereof comprising administering to said subject an effective amount of a pharmaceutical composition according to claim 2 or 3.
- 30 12. A method for treating an HIV or genetically-related retroviral infection, or a resulting acquired immune deficiency syndrome (AIDS) comprising administering to a subject in need thereof an effective amount of a compound according to claim 1.
13. A method for treating an HIV or genetically-related retroviral infection, or a resulting acquired immune deficiency syndrome (AIDS) comprising administering to a subject in need thereof an effective amount of a pharmaceutical composition according to claim 2 or 3.

14. A method of treating an HIV or a genetically-related retroviral infection, or a resulting acquired immune deficiency syndrome (AIDS), comprising administering an effective amount of a compound of formula (I), or a pharmaceutical composition according to claim 2 or 3.

- 5 15. A process for preparing the compound of formula (I) or a salt, solvate or pharmaceutically acceptable derivative thereof, which comprises:

(A) reacting a compound of formula (V)

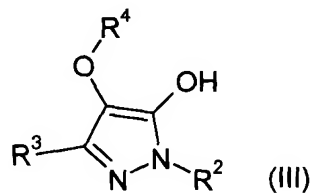


with an alcohol of formula (IV),

R<sup>1</sup>-OH (IV);

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(B) reacting an alcohol of formula (III)



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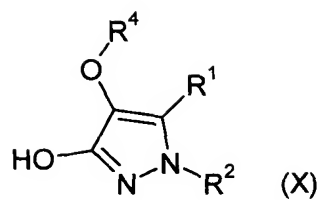
with a compound of formula (II),

Lg-R<sup>1</sup> (II);

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(C) reacting a compound of formula (III) with an alcohol of formula (IV) under dehydrating conditions;

(D) preparing a compound of formula (I) in which R<sup>3</sup> is halo, halogenating a compound of formula (X)



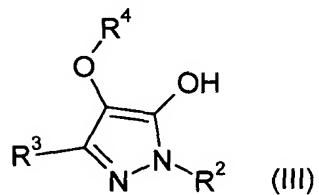
5 (E) interconverting a compound of formula (I) into another compound of formula (I); or

(F) deprotecting a protected derivative of compound of formula (I); and

optionally converting a compound of formula (I) prepared by any one of processes (A) to (F) into a pharmaceutically acceptable salt, solvate or derivative thereof.

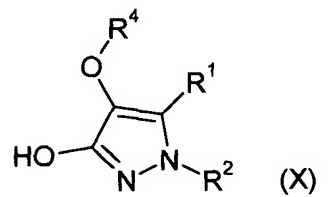
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16. A compound of formula (III), (IV) or (X)



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R<sup>1</sup>-OH (IV);



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